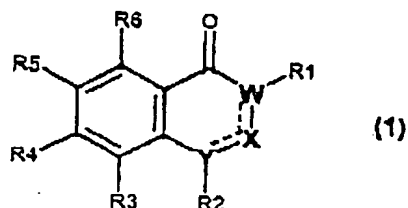


Claims

1. Compounds of general formula (I):



in which

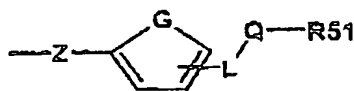
- R^1
- (a) is an acyl group $-CO-R_{11}$ or CN , whereby R_{11} is a saturated, unsaturated, cyclic and/or (hetero)aromatic organic radical, especially a straight or branched alkyl chain with 1-10 C atoms or a phenyl, furan or thiophene group that is optionally substituted by alkyl groups or halogen atoms,
 - (b) is a carboxylic acid ester group $-CO-OR_{12}$ or a carboxylic acid amide group $-CO-NR_{12}R_{13}$ or a group $-SO_x-R_{12}$ with $X = 0, 1$ or 2 or $-SO_2-NR_{12}R_{13}$, whereby R_{12} is a saturated, unsaturated, cyclic and/or (hetero)aromatic organic radical, especially a straight or branched alkyl chain with 1-10 C atoms, an aralkyl group with 7-20 C atoms, whereby the aryl radical optionally can be substituted by alkyl groups or halogen atoms or is a phenyl radical that is optionally substituted by alkyl groups or halogen atoms, and R_{13} can be a hydrogen atom or a straight or branched alkyl chain with 1-10 C atoms,
- or
- (c) is the group $-A-NR_{14}-CO-NR_{15}R_{16}$, in which A is an alkylene group with 1-4 C atoms, especially with 1 C atom, that is optionally substituted by a C_1-C_6 alkyl

group, a carbonyl group, an oxygen atom or the group $-SO_x-$ with $X = 0, 1$ or 2 ; R14 and R15, in each case independently are a hydrogen atom or a straight or branched alkyl chain with 1-10 C atoms, and R16 is a straight or branched alkyl chain with 1-10 C atoms, a cycloalkyl group with 3-10 C atoms, a cycloalkylalkyl group with 7-20 C atoms, an aralkyl group with 7-20 C atoms, whereby the aryl radical optionally can be substituted by alkyl groups or halogen atoms, a phenyl group that is optionally substituted by alkyl groups or halogen atoms or a heterocyclic ring that is optionally substituted by alkyl groups or halogen atoms,

R2 is a group $-CH(R21)R22$, whereby R21 is a hydrogen atom, a C_1 - C_{10} -alkyl group or an optionally substituted phenyl ring and R22 is an optionally substituted phenyl ring or naphthyl ring, or a group $-CH_2CH(R23)R24$, with R23 and R24 in the meaning of an optionally substituted phenyl ring,

R3 and R4 in each case independently are a hydrogen atom or an alkyl group with 1-10 C atoms and R3 also can be a halogen atom,

R5 is a group that is linked via radical Z,

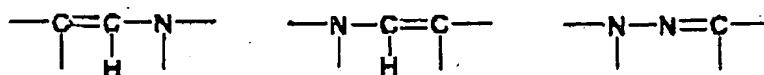


in which G is $-C=C-$, $-C=N-$, $-N=C-$, an oxygen or sulfur atom, Z is a direct bond, an oxygen atom or a sulfur atom, the group $CH-R52$ or $-CHR52-CH-R53-$, whereby R52 and R53, independently of one another, have the meaning of a hydrogen atom or an alkyl group and n means numbers 1 and 2, a $-C\equiv C-$ triple bond or an E- or Z-configured group $-CR52=CR53-$ or $C=CR52R53$, whereby R52 and R53, independently of one another, have the meaning of a hydrogen atom or an alkyl group, L is a CH_2 group or an NH group, Q is a carbonyl group or $-SO_x$ group, with $X = 0, 1$ or 2 , and R51 is an amino group that is optionally substituted

by an alkyl group or a straight or branched alkyl group that is optionally substituted by halogen atoms, hydroxyl or alkoxy groups, or a cycloalkyl group with 3-7 ring members that is optionally substituted by halogen atoms, hydroxyl or alkoxy groups,

R6 is the group $\text{CH}_2\text{-N(R61)R62}$, whereby R61, in each case independently, is a hydrogen atom or an alkyl group, and R62 is an alkyl group or an optionally substituted aralkyl group or a heteroarylalkyl group with 7-20 C atoms, and can mean

$-\text{W}=\text{X}=\text{Y}-$ the groups



in any orientation; also all stereoisomers of the above-mentioned structures and salts thereof with physiologically compatible acids or bases.

2. Compounds according to claim 1, characterized in that $\text{W}^-\text{X}^-\text{Y}$ is the group $\text{N}-\text{C}=\text{C}$
or $\text{C}=\text{N}-\text{N}$.
 $\begin{array}{c} | \quad | \\ \text{H} \end{array}$

Sub A1
3. Compounds according to claim 1 or 2,
wherein R1 is the group $-\text{CO}-\text{R11}$.

4. Compounds according to claim 3,
wherein R11 is selected from methyl, ethyl, i-propyl, phenyl, 2-thienyl and 2-furyl.

Sub A2
5. Compounds according to claim 1 or 2,
wherein R1 is the group $-\text{CO}-\text{OR12}$.

6. Compounds according to claim 5,
wherein R12 is selected from methyl, ethyl or i-propyl.

Sub A3
7. Compounds according to one of claims 1 to 6,
wherein R2 is a 2',5'-difluorobenzyl group.

8. Compounds according to one of claims 1 to 7,

wherein R3 and R4 are hydrogen atoms.

9. Compounds according to one of claims 1 to 8,
wherein Z is a direct bond or an oxygen atom.

10. Compounds according to one of claims 1 to 9,
wherein G - C = C -.

11. Compounds according to one of claims 1 to 10,
wherein L is an NH group.

12. Compounds according to one of claims 1 to 11,
wherein Q is a carbonyl group, and R51 is a C₁-C₆ alkyl group.

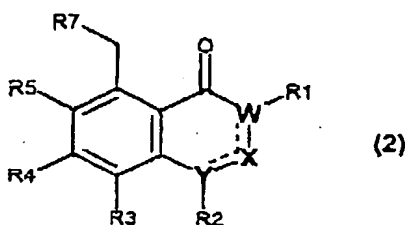
13. Compounds according to one of claims 1 to 12,
wherein R61 is a hydrogen atom or a methyl group and/or R62 is a benzyl group.

14. Use of compounds according to one of claims 1 to 13 as antagonists of the
gonadotropin-releasing hormone (GnRH).

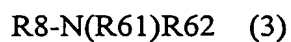
15. Use according to claim 14 for male birth control, for hormone therapy, for treating
female subfertility and infertility, for female contraception and to combat tumors.

16. Process for the production of compounds of general formula (1)

(a) By reaction of a compound of general formula (2)



whereby R7 means a leaving group, and all other radicals have the meaning that is
indicated in compound (1), with a compound of general formula (3)



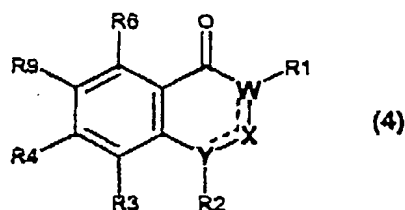
whereby R8 means a hydrogen atom or a metal atom, and R61 and R62 have the

Sub
A3

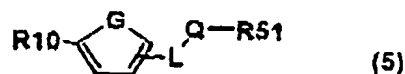
10078530.090302
20230601 0858200T

meanings that are indicated in compound (1),

(b) By reaction of a compound of general formula (4)

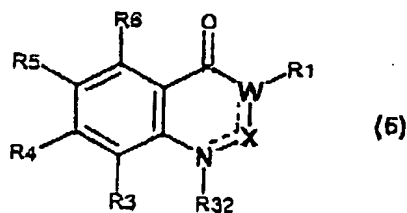


in which R9 is the group $-\text{OSO}_2\text{C}_n\text{F}_{2n+1}$, a halogen atom, especially a bromine or iodine atom, or another leaving group, and all other radicals have the meaning that is indicated in compound (1), with a compound of general formula (5)



whereby R10 is a group that contains a metal or a non-metal, a hydroxy or mercapto group that is optionally converted into a metal salt; the group $-\text{C} \equiv \text{C}-\text{R31}$ or an E- or Z-configured group $-\text{CR52} = \text{CR53R31}$ or $-\text{CR31} = \text{CR52R53}$, in which R31 is a group that contains a metal or a non-metal, and all other radicals have the meaning that is indicated in compound (1), with or without the involvement of a catalyst;

(c) If Y is a nitrogen atom in compound (1), by reaction of a compound of general formula (6)

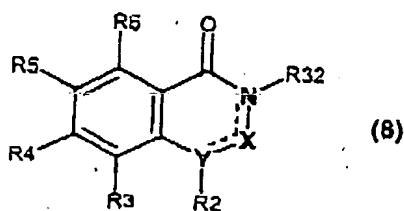


whereby R32 means a hydrogen atom or a metal atom, and all other radicals have the meaning that is indicated in compound (1), with a compound of general formula (7)

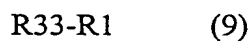


whereby R33 means a leaving group, and R2 has the meaning that is indicated in compound (1), or

(d) If W in compound (1) is a nitrogen atom, by reaction of a compound of general formula (8)



whereby R32 means a hydrogen atom or a metal atom, and all other radicals have the meaning that is indicated in compound (1), with a compound of general formula (9)



whereby R33 means a leaving group, and R1 has the meaning that is indicated in compound (1).